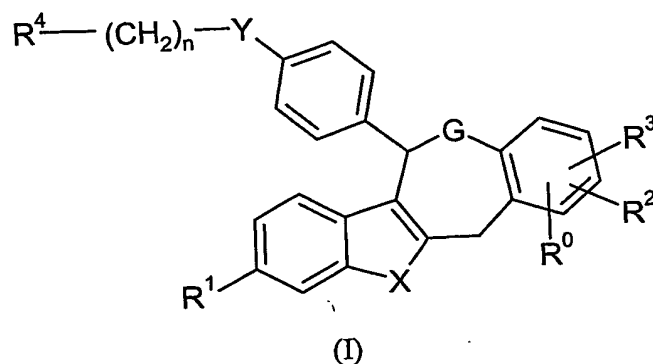


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## I CLAIM:

1. A compound of the formula



wherein

$R^1$  is -H, -OH, -O(C<sub>1</sub>-C<sub>4</sub> alkyl), -OCOC<sub>6</sub>H<sub>5</sub>, -OCO(C<sub>1</sub>-C<sub>6</sub> alkyl), or -OSO<sub>2</sub>(C<sub>2</sub>-C<sub>6</sub> alkyl);

$R^0$ ,  $R^2$  and  $R^3$  are each independently -H, -OH, -O(C<sub>1</sub>-C<sub>4</sub> alkyl), -OCOC<sub>6</sub>H<sub>5</sub>, -OCO(C<sub>1</sub>-C<sub>6</sub> alkyl), -OSO<sub>2</sub>(C<sub>2</sub>-C<sub>6</sub> alkyl) or halo;

$R^4$  is 1-piperidinyl, 1-pyrrolidinyl, methyl-1-pyrrolidinyl, dimethyl-1-pyrrolidinyl, 4-morpholino, dimethylamino, diethylamino, diisopropylamino, or 1-hexamethyleneimino;

$n$  is 2 or 3;

$X$  is -S- or -HC=CH-;

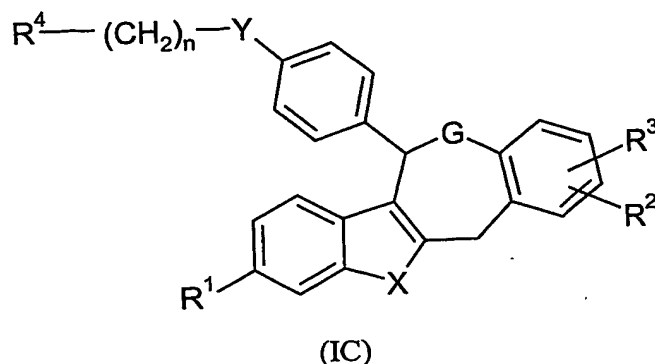
$G$  is -O-, -S-, -SO-, SO<sub>2</sub>, or -N(R<sup>5</sup>)-, wherein  $R^5$  is -H or C<sub>1</sub>-C<sub>4</sub> alkyl; and

$Y$  is -O-, -S-, -NH-, -NMe-, or -CH<sub>2</sub>-;

or a pharmaceutically acceptable salt thereof.

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2. A compound of Claim 1 of the formula



5 wherein

$R^1$  is -H, -OH, -O(C<sub>1</sub>-C<sub>4</sub> alkyl), -OCOC<sub>6</sub>H<sub>5</sub>, -OCO(C<sub>1</sub>-C<sub>6</sub> alkyl), or -OSO<sub>2</sub>(C<sub>2</sub>-C<sub>6</sub> alkyl);

$R^2$  and  $R^3$  are each independently -H, -OH, -O(C<sub>1</sub>-C<sub>4</sub> alkyl), -OCOC<sub>6</sub>H<sub>5</sub>, -OCO(C<sub>1</sub>-C<sub>6</sub> alkyl), -OSO<sub>2</sub>(C<sub>2</sub>-C<sub>6</sub> alkyl) or halo;

10  $R^4$  is 1-piperidinyl, 1-pyrrolidinyl, methyl-1-pyrrolidinyl, dimethyl-1-pyrrolidinyl, 4-morpholino, dimethylamino, diethylamino, diisopropylamino, or 1-hexamethyleneimino;

$n$  is 2 or 3;

$X$  is -S- or -HC=CH-;

15  $G$  is -O-, -S-, -SO-, SO<sub>2</sub>, or -N(R<sup>5</sup>)-, wherein R<sup>5</sup> is -H or C<sub>1</sub>-C<sub>4</sub> alkyl; and

$Y$  is -O-, -S-, -NH-, -NMe-, or -CH<sub>2</sub>-;

or a pharmaceutically acceptable salt thereof.

3. A compound according to either of Claims 1 or 2 wherein  $G$  is -O-.

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4. A compound according to any of Claims 1 to 3 wherein  $Y$  is -O-.

5. A compound according to any of Claims 1 to 4 wherein  $n$  is 2.

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6. A compound according to any of Claims 1 to 5 wherein  $R^1$  is -OH or -OCH<sub>3</sub>.

7. A compound according to any of Claims 1 to 6 wherein  $R^1$  is  $-OH$ .
8. A compound according to any of Claims 1 to 7 wherein  $R^4$  is 1-piperidinyl or 1-pyrrolidinyl.
- 5 9. A compound according to any of Claims 1 to 8 wherein  $R^4$  is 1-piperidinyl.
10. A compound according to any of Claims 1 to 9 wherein two of  $R^0$ ,  $R^2$  and  $R^3$  is  $-H$ .
- 10 11. A compound according to any of Claims 1 to 9 wherein two of  $R^0$ ,  $R^2$  and  $R^3$  is  $-H$  and the other is  $-OH$ .
12. A compound according to any of Claims 1 to 9 wherein all of  $R^0$ ,  $R^2$  and  $R^3$  are  $-H$ .
- 15 13. A compound according to any of Claims 1 to 9 wherein at least one of  $R^0$ ,  $R^2$ , and  $R^3$  is halo and the other or others is  $-H$ .
- 20 14. A compound according to any of Claims 1 to 13 wherein  $X$  is  $-S-$ .
15. A compound according to any of Claims 1 to 13 wherein  $X$  is  $-HC=CH-$ .
- 25 16. A compound according to Claim 1 wherein said compound is 5-[4-(2-piperidin-1-yl-ethoxy)-phenyl]-5,11-dihydro-6-oxa-12-thia-dibenzo[a,f]azulen-2-ol or a pharmaceutically acceptable salt thereof.
- 30 17. A compound according to Claim 1 wherein said compound is 13-[4-(2-piperidin-1-yl-ethoxy)-phenyl]-7,13-dihydro-12-oxa-benzo[4,5]cyclohepta[1,2-a]naphthalen-3-ol or a pharmaceutically acceptable salt thereof.

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18. A pharmaceutical composition comprising a compound according to Claim 1 or a pharmaceutically acceptable salt thereof, and optionally an effective amount of estrogen and progestin, in combination with a pharmaceutically acceptable salt, diluent, or excipient.

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19. A method for inhibiting a disease associated with estrogen deprivation comprising administering to a patient in need thereof a therapeutically effective amount of a compound according to any one of Claims 1 through 17.

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20. A method according to Claim 19 wherein said patient is a human.

21. A method according to Claim 20 wherein said patient is a postmenopausal female.

15

22. A method according to any of Claims 19 through 21 wherein said disease associated with estrogen deprivation is bone loss.

23. A method according to any of Claims 19 through 21 wherein said disease associated with estrogen deprivation is cardiovascular disease.

20

24. A method for inhibiting a disease associated with an aberrant physiological response to endogenous estrogen comprising administering to a patient in need thereof a therapeutically effective amount of a compound according to any one of Claims 1 through 17.

25

25. A method according to Claim 24 wherein said patient is a human.

26. A method according to Claim 25 wherein said patient is a postmenopausal female.

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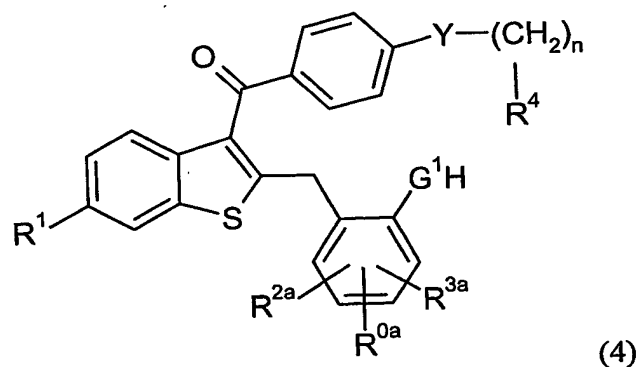
27. A method according to any of Claims 24 through 26 wherein the disease associated with an aberrant physiological response to endogenous estrogen is estrogen dependent cancer.

28. A method according to Claim 27 wherein said cancer is breast cancer.

29. A method according to any of Claims 24 through 26 wherein the disease associated with an aberrant physiological response to endogenous estrogen is endometriosis.

30. A method according to any of Claims 24 through 26 wherein the disease associated with an aberrant physiological response to endogenous estrogen is uterine fibrosis.

31. A compound of the formula



wherein

R¹ is -H, -OH, -O(C₁-C₄ alkyl), -OCOC₆H₅, -OCO(C₁-C₆ alkyl), or -OSO₂(C₂-C₆ alkyl);

R⁰ᵃ, R²ᵃ and R³ᵃ are each independently -H, -OPg, or halo, wherein Pg is a hydroxy protecting group;

R⁴ is 1-piperidinyl, 1-pyrrolidinyl, methyl-1-pyrrolidinyl, dimethyl-1-pyrrolidinyl, 4-morpholino, dimethylamino, diethylamino, diisopropylamino, or 1-hexamethyleneimino;

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n is 2 or 3;

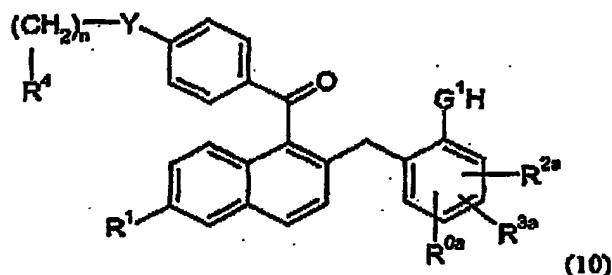
G<sup>1</sup> is -O-, -S-, or -N(R<sup>5</sup>)-, wherein R<sup>5</sup> is -H or C<sub>1</sub>-C<sub>4</sub> alkyl; andY is -O-, -S-, -NH-, -NMe-, or -CH<sub>2</sub>-;

5 or a pharmaceutically acceptable salt thereof.

32. A compound according to Claim 31 wherein said compound is [6-hydroxy-2-(2-hydroxy-benzyl)-benzo[b]thiophen-3-yl]-[4-(2-piperidin-1-yl-ethoxy)-phenyl]-methanone.

10

33. A compound of the formula



(10)

15 wherein

R<sup>1</sup> is -H, -OH, -O(C<sub>1</sub>-C<sub>4</sub> alkyl), -OCOC<sub>6</sub>H<sub>5</sub>, -OCO(C<sub>1</sub>-C<sub>6</sub> alkyl), or -OSO<sub>2</sub>(C<sub>2</sub>-C<sub>6</sub> alkyl);

R<sup>2a</sup>, R<sup>2b</sup> and R<sup>3a</sup> are each independently -H, -OPg, or halo, wherein Pg is a hydroxy protecting group;

20 R<sup>4</sup> is 1-piperidinyl, 1-pyrrolidinyl, methyl-1-pyrrolidinyl, dimethyl-1-pyrrolidinyl, 4-morpholino, dimethylamino, diethylamino, diisopropylamino, or 1-hexamethyleneimino;

n is 2 or 3;

G<sup>1</sup> is -O-, -S-, or -N(R<sup>5</sup>)-, wherein R<sup>5</sup> is -H or C<sub>1</sub>-C<sub>4</sub> alkyl; and25 Y is -O-, -S-, -NH-, -NMe-, or -CH<sub>2</sub>-;

or a pharmaceutically acceptable salt thereof.

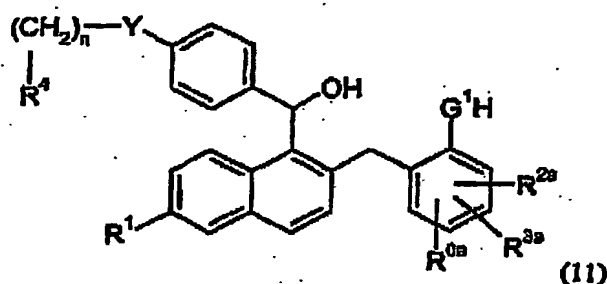
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34. A compound according to Claim 33 wherein said compound is [6-hydroxy-2-(2-hydroxy-benzyl)-naphthalen-1-yl]-[4-(2-piperidin-1-yl-ethoxy)-phenyl]-methanone.

5 35. A compound of the formula



wherein

10  $R^1$  is -H, -OH, -O(C<sub>1</sub>-C<sub>4</sub> alkyl), -OCOC<sub>6</sub>H<sub>5</sub>, -OCO(C<sub>1</sub>-C<sub>6</sub> alkyl), or -OSO<sub>2</sub>(C<sub>2</sub>-C<sub>6</sub> alkyl);

$R^{0a}$ ,  $R^{2a}$  and  $R^{3a}$  are each independently -H, -OPg, or halo, wherein Pg is a hydroxy protecting group;

15  $R^4$  is 1-piperidinyl, 1-pyrrolidinyl, methyl-1-pyrrolidinyl, dimethyl-1-pyrrolidinyl, 4-morpholino, dimethylamino, diethylamino, diisopropylamino, or 1-hexamethyleneimino;

$n$  is 2 or 3;

$G^1$  is -O-, -S-, or -N( $R^5$ )-, wherein  $R^5$  is -H or C<sub>1</sub>-C<sub>4</sub> alkyl; and

$Y$  is -O-, -S-, -NH-, -NMe-, or -CH<sub>2</sub>-;

20 or a pharmaceutically acceptable salt thereof.

36. A compound according to Claim 35 wherein said compound is 6-(2-hydroxy-benzyl)-5-(hydroxy-[4-(2-piperidin-1-yl-ethoxy)-phenyl]-methyl)-naphthalen-2-ol.